

B'ent.
08/467,404, filed June 6, 1995, abandoned, the contents of which is incorporated by reference herein.--

In the Claims:

Please cancel claims 1, 2, 28, 29 and 54.

Please amend the claims as follows:

Sub P1
B2
25. (twice amended) A compound of claim 46, wherein:

R is hydrogen, halogen, lower alkoxy, alkynyl or substituted alkynyl; and

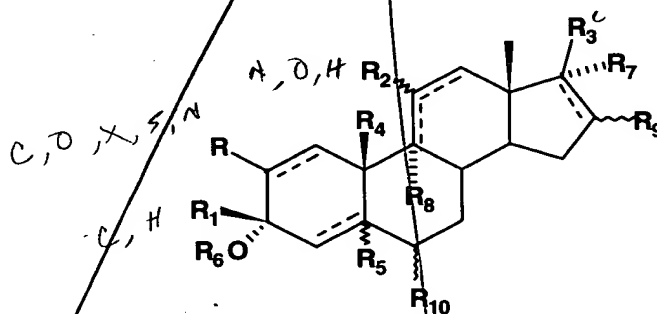
R₃ is one of -C(O)-CH₂-Y-G, -C(O)-CH₂-O-D, -C(O)-CH₂-O-E, or -C(O)-CH₂-O-Y'-Z-G

[or -C(O)-CH₂-O-Y'-Z-A]

Sub C1
B3
27. (once amended) A compound [of claim 26] which is 3 α -hydroxy-3 β -(4-hydroxybutynyl)-21-(pyrid-4-ylthio)-5 β -pregnan-20-one; 3 α -hydroxy-21-(pyrid-4-yloxy)-5 β -pregnan-20-one; 3 α -hydroxy-2 β -propoxy-21-(pyrid-4-ylthio)-5 α -pregnan-20-one N-methyl iodide; 3 α -hydroxy-21-(pyrid-4-ylthio)-5 α -pregnan-20-one N-methyl iodide; 3 α -hydroxy-21-(pyrid-4-yl)thio-5 β -pregnan-20-one N-methyl iodide; 3 α -hydroxy-3 β -methoxymethyl-21-(pyrid-4-ylthio)-5 α -pregnan-20-one; 21-(4'-dimethylaminophenylthio)-3 α -hydroxy-3 β -methoxymethyl-5 α -pregnan-20-one; 3 α -hydroxy-3 β -methoxymethyl-21-(4'-nitrophenylthio)-5 α -pregnan-20-one; 3 α -hydroxy-3 β -methoxymethyl-21-(4'-nitrophenylsulfinyl)-5 α -pregnan-20-one; 3 α -hydroxy-3 β -methoxymethyl-21-(4'-nitrophenylsulfonyl)-5 α -pregnan-20-one; 21-(4'-dimethylaminophenoxy)-

3 α -hydroxy-3 β -methyl-5 α -pregnan-20-one; 3 α -hydroxy-3 β -methyl-21-(4'-nitrophenoxy)-5 α -pregnan-20-one; 3 α -hydroxy-3 β -methyl-21-(4'-trimethylammoniumphenoxy)-5 α -pregnan-20-one iodide salt; 21-(4'-fluorophenylthio)-3 α -hydroxy-3 β -methoxymethyl-5 α -pregnan-20-one; 3 β -ethynyl-3 α -hydroxy-21-(pyrid-4-ylthio)-5 α -pregnan-20-one; 3 β -(4'-acetylphenyl)ethynyl-3 α -hydroxy-21-(pyrid-4-ylthio)-5 β -pregnan-20-one; 3 α -hydroxy-2 β -propoxy-21-(4'-N,N,N-trimethylammoniumphenoxy)-5 α -pregnan-20-one iodide salt; 3 α -hydroxy-3 β -methyl-21-(quinolin-6-yloxy)-5 α -pregnan-20-one N-methyl iodide; 3 α -hydroxy-3 β -methyl-21-(quinolin-6-yloxy)-5 α -pregnan-20-one; 21-(4'-fluorophenyl)sulfonyl-3 α -hydroxy-3 β -methoxymethyl-5 α -pregnan-20-one; 3 α -hydroxy-3 β -methoxymethyl-21-(4'-pyrrolidinophenyl)sulfonyl-5 α -pregnan-20-one or 21-(4'-aminophenylthio)-3 α -hydroxy-3 β -methoxymethyl-5 α -pregnan-20-one.

46. (once amended) A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R₁ is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl,

azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R_2 is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R_3 is one of $-C(O)-CH_2-Y-G$, $-C(O)-CH_2-O-D$, $-C(O)-CH_2-O-E$, $-C(O)-CH_2-Z-G$, or $-C(O)-CH_2-Y'-Z-G$ [or $-C(O)-CH_2-Y'-Z-A$];

Y is one of S, SO or SO_2 ;

Y' is one of O, S, SO or SO_2 ;

Z is one of alkylene, alkenylene or alkynylene;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary ammonium salt of an amino substituted aryl group;

D is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group;

E is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

[A is one of amino, amido, cyano, thiocyno, azido, nitro, hydroxy, halo, carboxyl, alkoxy, alkoxycarbonyl, alkanoyloxy, sulfate, thiosulfate, sulfonate, alkylthio, alkylsulfinyl, alkylsulfonyl or mercapto;]

R_4 is one of hydrogen or methyl;

R_5 , R_6 , R_7 , R_8 , R_9 and R_{10} are each hydrogen; and

the dotted lines all represent single bonds; with the following provisos:

when R_3 is $-C(O)-CH_2-Y-G$, and G is C-attached heteroaryl or optionally substituted aryl,

then R_1 is other than hydrogen or alkyl;

when R_3 is $-C(O)-CH_2-O-E$, and E is optionally substituted aryl, then R_1 is other than hydrogen;
or alkyl

when R_3 is $-C(O)-CH_2-Y'-Z-G$, and Y' is O, and G is aryl, then R_1 is other than hydrogen;
or alkyl

when R_3 is $-C(O)-CH_2-Y'-Z-G$, and Y' is S, SO, or SO_2 , and G is aryl, then R_1 is other than hydrogen or alkyl; and

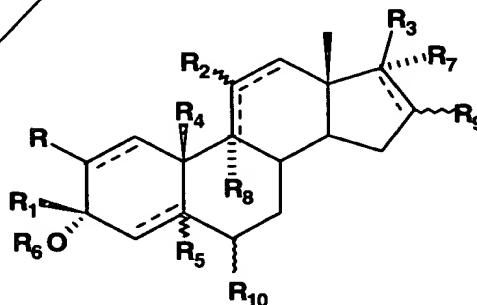
when R_3 is $-C(O)-CH_2-Z-G$, then R_1 is other than hydrogen[;

when R_3 is $-C(O)-CH_2-Y'-Z-A$, and Y' is O, and A is hydrogen, halo, carboxyl, alkoxy, carbonyl, alkoxy, cyano or amino, then R_1 is other than hydrogen; and

when R_3 is $-C(O)-CH_2-Y'-Z-A$, and Y' is S, SO, or SO_2 , and A is hydrogen, halo, carboxyl, alkoxy, carbonyl, or amino, then R_1 is other than hydrogen or alkyl].

Please add the following new claims:

--58. A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R₁ is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocynoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R₂ is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R₃ is -C(O)CH₂S-(4-fluorophenyl), -C(O)CH₂O-(6-quinolinyl), -C(O)CH₂SO₂-(4-fluorophenyl), -C(O)CH₂SO₂-(4-pyrrolidinophenyl), -C(O)CH₂CH₂-(4-pyridyl), -C(O)CH₂O-(4-nitrophenyl), -C(O)CH₂O-(4-dimethylaminophenyl), -C(O)CH₂SO-(4-nitrophenyl) or -C(O)CH₂SO₂-(4-nitrophenyl);

R₄ is one of hydrogen or methyl;

R₅, R₆, R₇, R₈, R₉ and R₁₀ are each hydrogen; and

the dotted lines all represent single bonds; with the following provisos:

when R₃ is -C(O)CH₂S-(4-fluorophenyl), -C(O)CH₂SO₂-(4-fluorophenyl), -C(O)CH₂SO₂-(4-pyrrolidinophenyl), -C(O)CH₂SO-(4-nitrophenyl) or -C(O)CH₂SO₂-(4-nitrophenyl), then R₁ is other than hydrogen or alkyl; and

when R₃ is -C(O)CH₂O-(4-nitrophenyl), -C(O)CH₂O-(4-dimethylaminophenyl) or -C(O)CH₂CH₂-(4-pyridyl), then R₁ is other than hydrogen.